

Benzoxaborole Antimalarial Agents. Part 4. Discovery of Potent 6-(2-(Alkoxycarbonyl)pyrazinyl-5-oxy)-1,3-dihydro-1-hydroxy-2,1-benzoxaboroles

Yong-Kang Zhang,^{,†} Jacob J. Plattner,[†] Eric E. Easom,[†] Robert T. Jacobs,[†]
Denghui Guo,[§] Virginia Sanders,[†] Yvonne R. Freund,[†] Brice Campo,[‡]
Philip J. Rosenthal,[§] Wei Bu,[†] Francisco-Javier Gamo,^{||} Laura M. Sanz,^{||}
Min Ge,[⊥] Liang Li,[⊥] Jie Ding,[⊥] Yin Yang,[⊥]*

[†]Anacor Pharmaceuticals, Inc., 1020 E. Meadow Circle, Palo Alto, CA 94303, USA; [‡]Medicines for Malaria Venture, International Center Cointrin, Block G, 20 route de Pré-Bois, POB 1826, CH - 1215 Geneva; [§]Department of Medicine, University of California, San Francisco, Box 0811, San Francisco, California 94143, USA; ^{||}Diseases of the Developing World, GlaxoSmithKline, Severo Ochoa 2, 28760, Tres Cantos, Spain; [⊥]Acesys Pharmatech, Inc., Science and Technology Building 24B, 5 Xing Mo Fan Road, Nanjing 21009, China

Supporting Information

Table of Contents

Figures 1-4 for mice survival data of 4, 8, 9 and 15	S2
Figures 5-8 for mice efficacy data of 4, 8, 9 and 15	S4

Figures for mice survival and efficacy data of 4, 8, 9 and 15. Figures 1-4 illustrate the effects of test benzoxaboroles on the survival of *P. berghei*-infected mice. Figures 5-8 illustrate the effects of test benzoxaboroles on murine parasitemias 4 days after initiation of infection and treatment, allowing calculation of ED₉₀ values.

Figure 1. The effect of dosages of **4** on the survival of mice

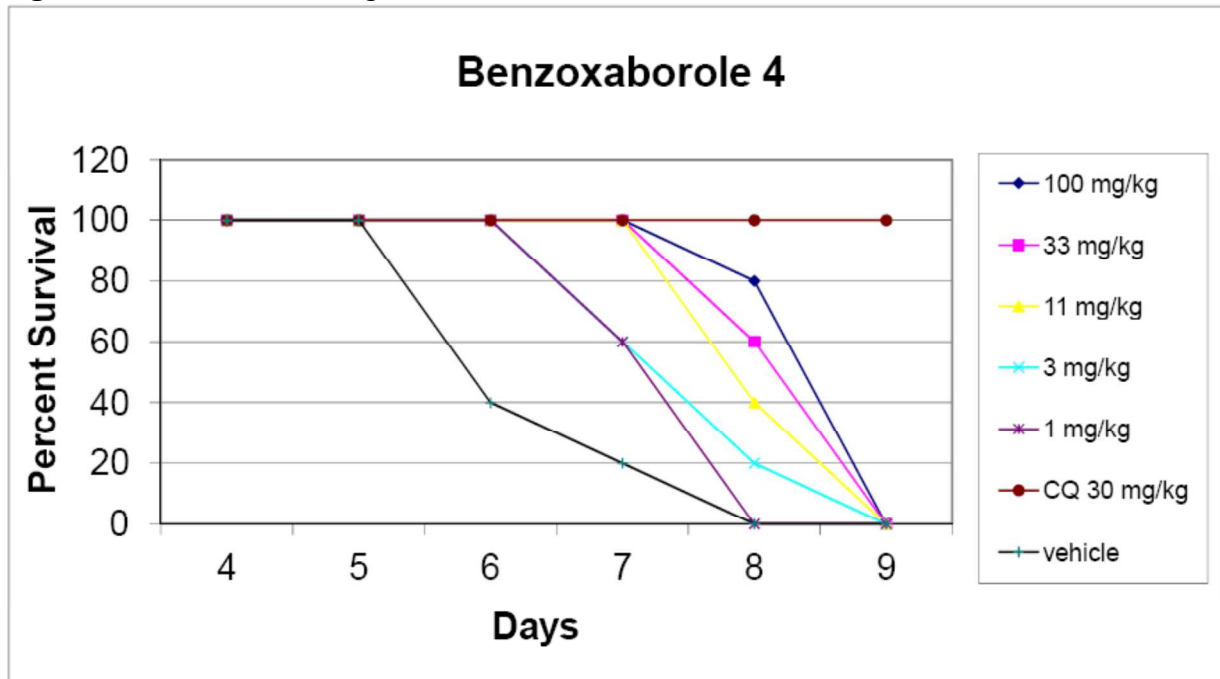


Figure 2. The effect of dosages of **8** on the survival of mice

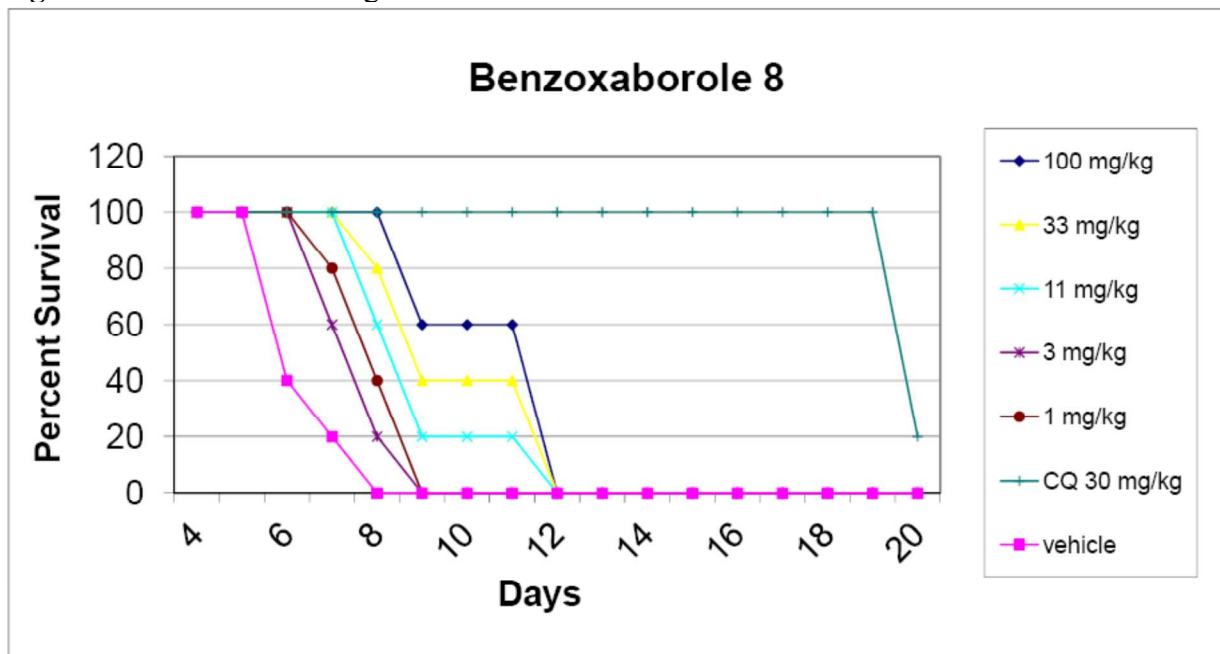


Figure 3. The effect of dosages of **15** on the survival of mice

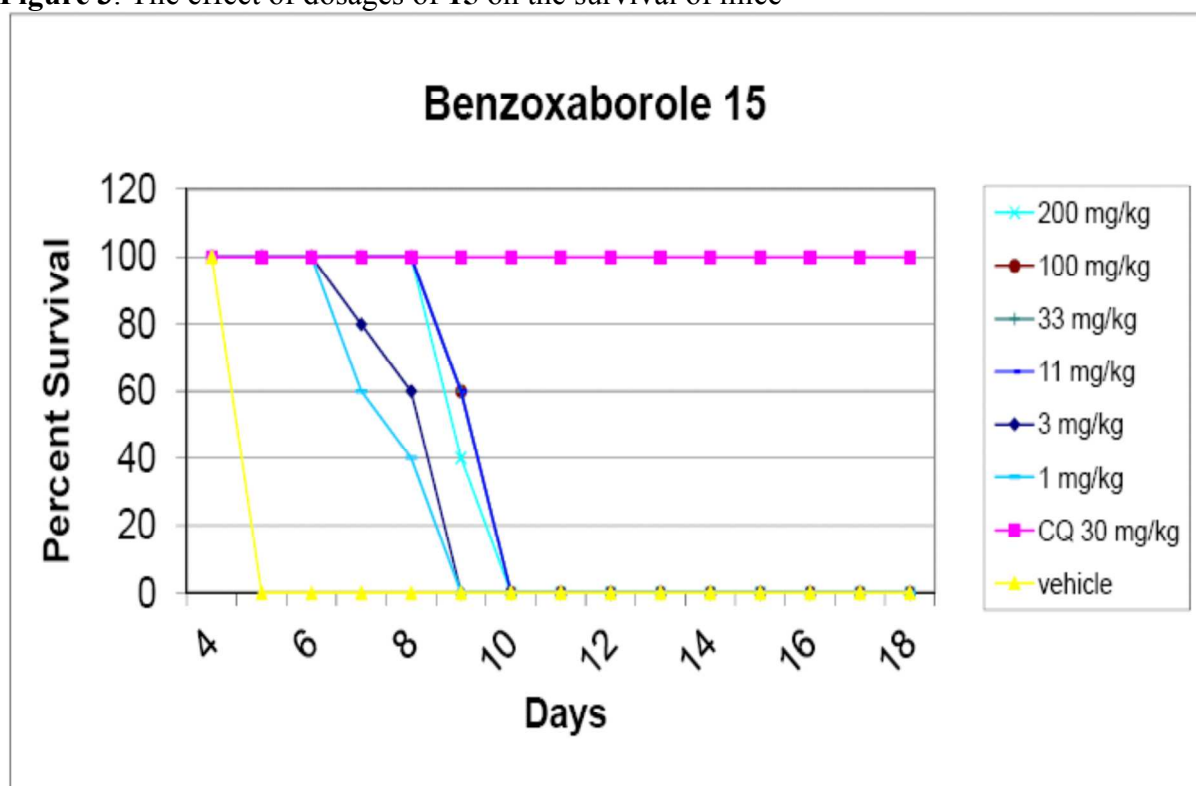


Figure 4. The effect of dosages of **9** on the survival of mice

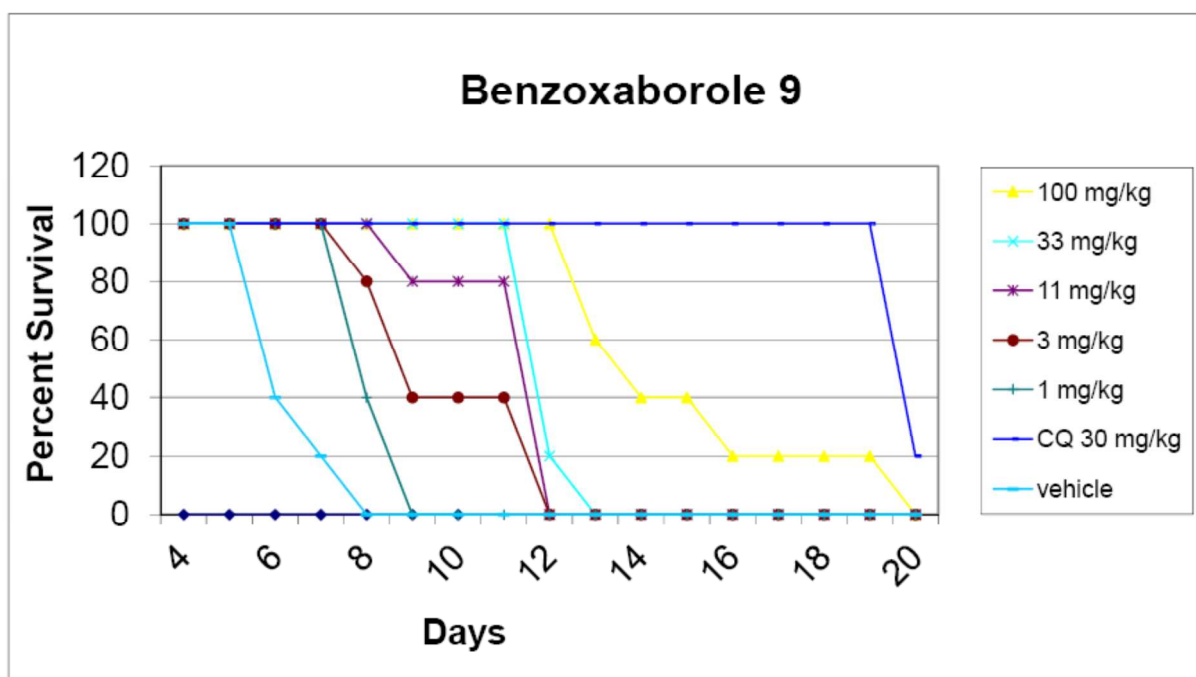
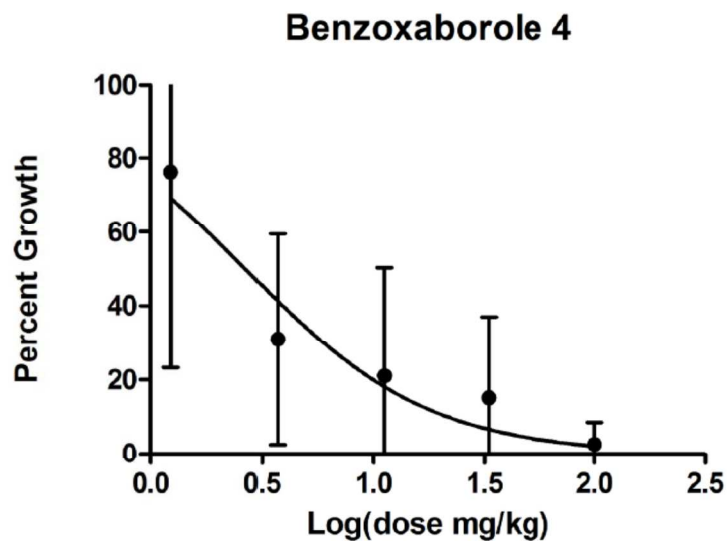
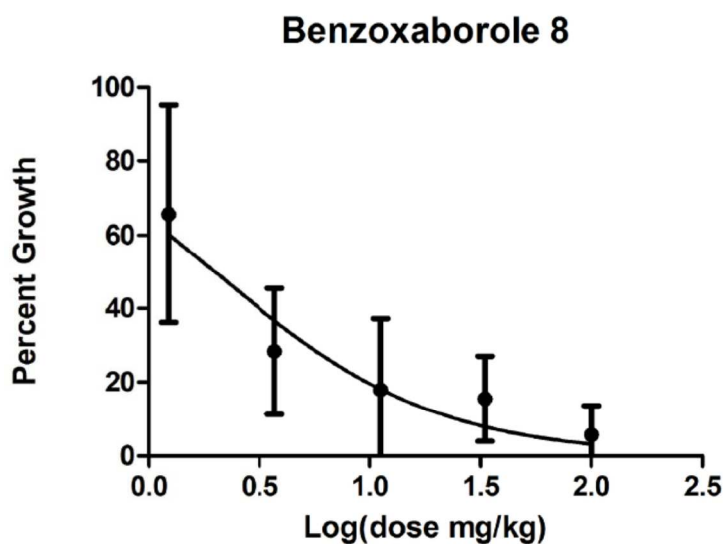


Figure 5. The effect of **4** on parasitemia in *P. berghei*-infected mice ($ED_{90} = 21.2$ mg/kg)



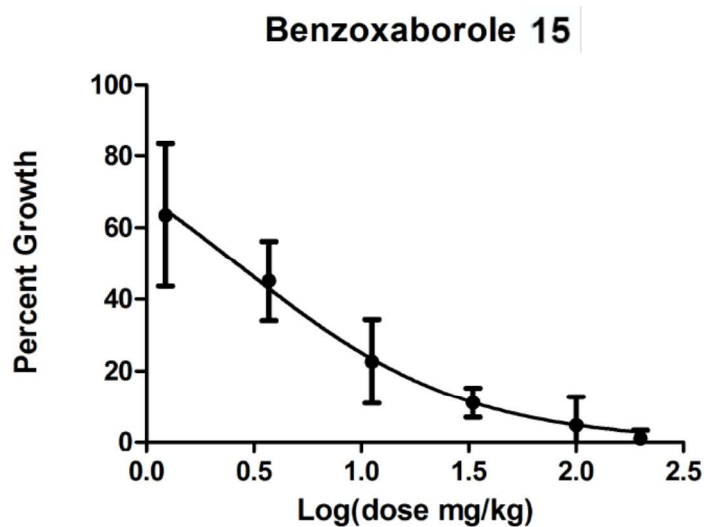
$ED_{90} = 21.2$ mg/kg

Figure 6. The effect of **8** on parasitemia in *P. berghei*-infected mice ($ED_{90} = 30.3$ mg/kg)



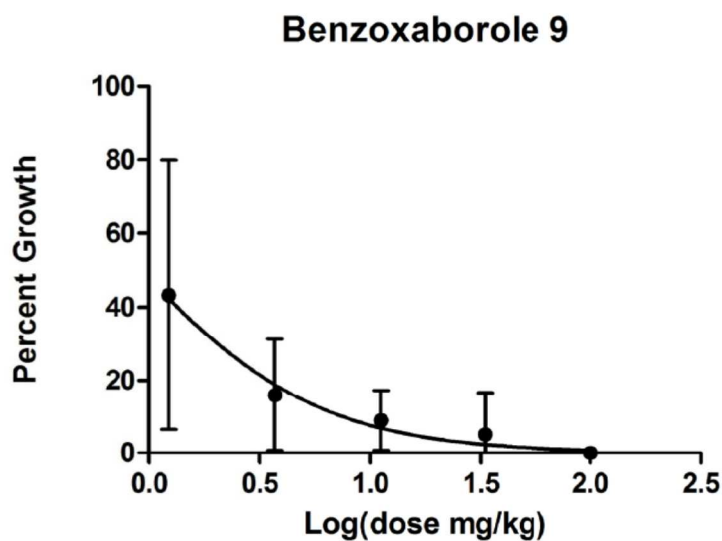
$ED_{90} = 30.3$ mg/kg

Figure 7. The effect of **15** on parasitemia in *P. berghei*-infected mice ($ED_{90} = 38.7$ mg/kg)



$ED_{90} = 38.7$ mg/kg

Figure 8. The effect of **9** on parasitemia in *P. berghei*-infected mice ($ED_{90} = 7.0$ mg/kg)



$ED_{90} = 7.0$ mg/kg